9/724,778

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	860	((514/266.22) or (514/266.23) or (514/266.3)).CCLS.	US-PGPUB; USPAT; USOCR	OR	OFF	2006/12/05 14:27
L2	1587	((544/284) or (544/287)).CCLS.	US-PGPUB; USPAT; USOCR	OR	OFF	2006/12/05 14:27
L3	1875	L1 or L2	US-PGPUB; USPAT	OR	OFF	2006/12/05 14:28
L4	1095	L3 and (amide or amido or carbamoyl or carboxamide)	US-PGPUB; USPAT	OR	OFF	2006/12/05 14:29
L5	530	L4 and (cancer or tumor)	US-PGPUB; USPAT	OR	ON	2006/12/05 14:29

09/ 724,778

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TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS 4	AUG 28	ADISCTI Reloaded and Enhanced
NEWS 5	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS 6	SEP 11	CA/CAplus enhanced with more pre-1907 records
NEWS 7	SEP 21	CA/CAplus fields enhanced with simultaneous left and right truncation
NEWS 8	SEP 25	CA(SM)/CAplus(SM) display of CA Lexicon enhanced
NEWS 9	SEP 25	CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS 10	SEP 25	CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS 11	SEP 28	CEABA-VTB classification code fields reloaded with new classification scheme
NEWS 12	OCT 19	LOGOFF HOLD duration extended to 120 minutes
NEWS 13	OCT 19	E-mail format enhanced
NEWS 14	OCT 23	Option to turn off MARPAT highlighting enhancements available
NEWS 15	OCT 23	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 16	OCT 23	The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded
NEWS 17	OCT 30	CHEMLIST enhanced with new search and display field
NEWS 18	NOV 03	JAPIO enhanced with IPC 8 features and functionality
NEWS 19	NOV 10	CA/CAplus F-Term thesaurus enhanced
NEWS 20	NOV 10	STN Express with Discover! free maintenance release Version 8.01c now available
NEWS 21	NOV 13	CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role
NEWS 22	NOV 20	CAS Registry Number crossover limit increased to 300,000 in additional databases
NEWS 23	NOV 20	CA/CAplus to MARPAT accession number crossover limit increased to 50,000
NEWS 24	NOV 20	CA/CAplus patent kind codes will be updated
NEWS 25	DEC 01	CAS REGISTRY updated with new ambiguity codes

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
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NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:01:34 ON 05 DEC 2006

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.42	0.42

FILE 'REGISTRY' ENTERED AT 14:02:47 ON 05 DEC 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 4 DEC 2006 HIGHEST RN 914768-89-1
DICTIONARY FILE UPDATES: 4 DEC 2006 HIGHEST RN 914768-89-1

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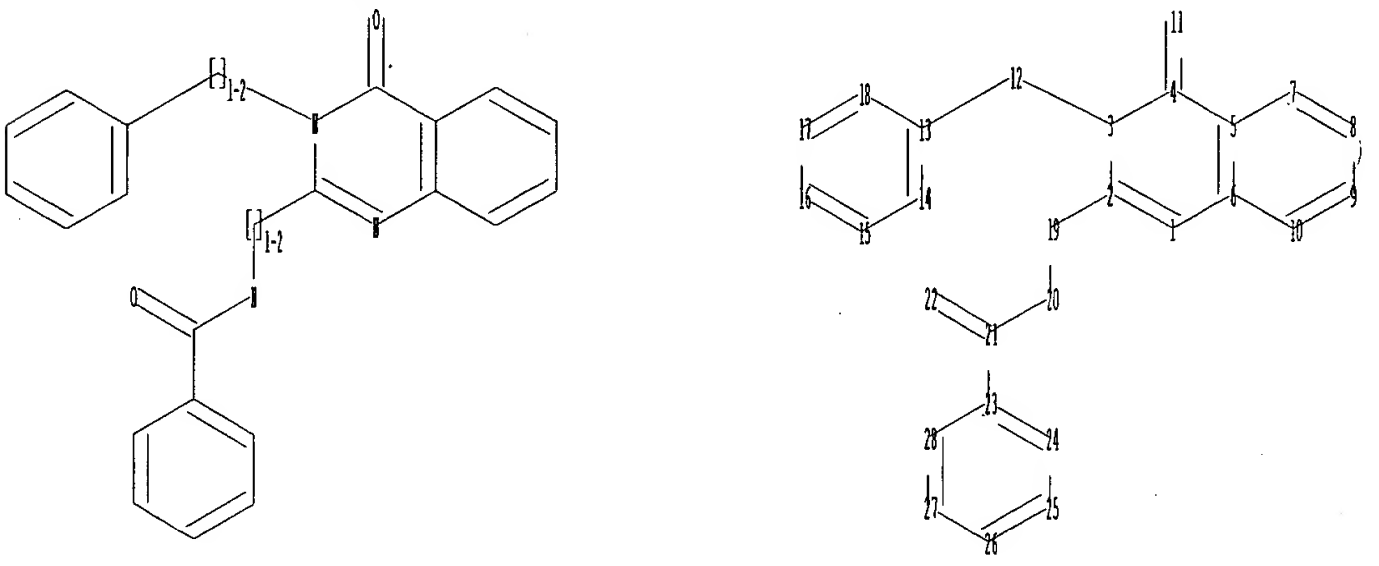
Uploading C:\Program Files\Stnexp\Queries\09724778.str



chain nodes :

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11  12  19  20  21  22
ring nodes :
1  2  3  4  5  6  7  8  9  10  13  14  15  16  17  18  23  24  25  26  27  28
chain bonds :
2-19  3-12  4-11  12-13  19-20  20-21  21-22  21-23
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-10  7-8  8-9  9-10  13-14  13-18  14-15  15-16
 16-17  17-18  23-24  23-28  24-25  25-26  26-27  27-28
exact/norm bonds :
1-2  1-6  2-3  3-4  3-12  4-5  4-11  19-20  20-21  21-22
exact bonds :
2-19  12-13  21-23
normalized bonds :
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23-28  24-25  25-26  26-27  27-28
isolated ring systems :
containing 1 : 13 : 23 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
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L1      STRUCTURE UPLOADED

=> d L1
L1 HAS NO ANSWERS
L1                  STR
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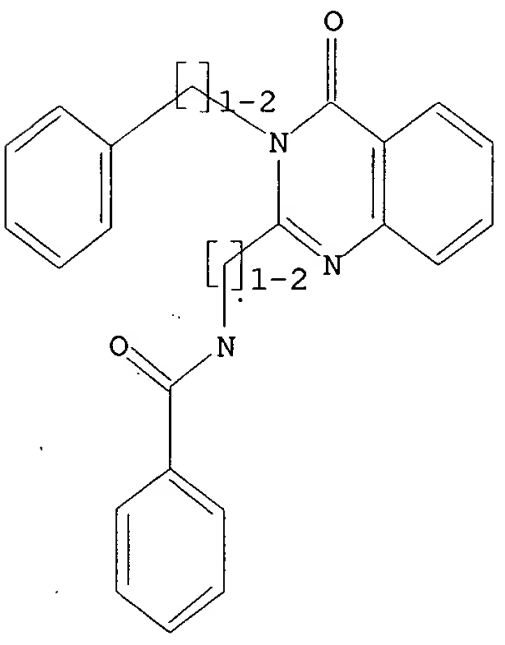


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Structure attributes must be viewed using STN Express query preparation.


=> s l1 sample
SAMPLE SEARCH INITIATED 14:03:26 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       31 TO ITERATE

100.0% PROCESSED       31 ITERATIONS                              19 ANSWERS
SEARCH TIME: 00.00.01
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FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           286 TO      954
PROJECTED ANSWERS:              119 TO      641

L2           19 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 14:03:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      649 TO ITERATE

100.0% PROCESSED      649 ITERATIONS                          439 ANSWERS
SEARCH TIME: 00.00.01

L3           439 SEA SSS FUL L1

=> file hcaplus
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 166.94     167.36

FILE 'HCAPLUS' ENTERED AT 14:03:40 ON 05 DEC 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 5 Dec 2006  VOL 145 ISS 24
FILE LAST UPDATED: 4 Dec 2006  (20061204/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> d his

     (FILE 'HOME' ENTERED AT 14:01:34 ON 05 DEC 2006)

     FILE 'REGISTRY' ENTERED AT 14:02:47 ON 05 DEC 2006
L1              STRUCTURE UPLOADED
L2           19 S L1 SAMPLE
L3          439 S L1 FUL

     FILE 'HCAPLUS' ENTERED AT 14:03:40 ON 05 DEC 2006

=> s l3
L4           34 L3

=> d l4 1- ibib abs fhitstr
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09/ 724,778

YOU HAVE REQUESTED DATA FROM 34 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:1202260 HCAPLUS
TITLE: Electrical devices, anti-scarring agents, and therapeutic compositions
INVENTOR(S): Hunter, William L.; Toleikis, Philip M.; Gravett, David M.; Maiti, Arpita; Liggins, Richard T.; Takacs-Cox, Aniko; Avelar, Rui; Signore, Pierre E.; Loss, Troy A. E.; Hutchinson, Anne; McDonald-Jones, Gaye; Lakhani, Fara
PATENT ASSIGNEE(S): Angiotech International A.-G., Switz.
SOURCE: PCT Int. Appl., 2278pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006121518	A2	20061116	WO 2006-US11610	20060331

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-679292P P 20050510
US 2005-679293P P 20050510

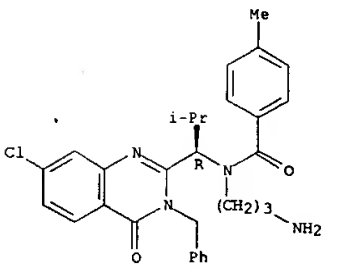
AB Elec. devices (e.g., cardiac rhythm management and neurostimulation devices) for contact with tissue are used in combination with an anti-scarring agent in order to inhibit scarring that may otherwise occur when the devices are implanted within an animal.
IT 514820-03-2
RL: DEV (Device component use); PAC (Pharmacological activity); TEM (Technical or engineered material use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(implants incorporating anti-scarring agents)
RN 514820-03-2 HCAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 336113-53-2
CMF C30 H33 Cl N4 O2

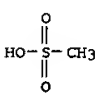
Absolute stereochemistry.

L4 ANSWER 1 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

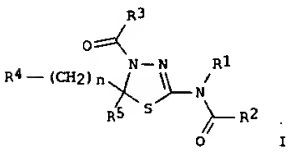
CRN 75-75-2
CMF C H4 O3 S



L4 ANSWER 2 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:1030332 HCAPLUS
DOCUMENT NUMBER: 145:404147
TITLE: antiglaucoma agents containing thiadiazoline derivatives
INVENTOR(S): Miki, Ichiro; Nakai, Ryuichiro; Murakata, Isamu; Yamashita, Nobunori; Oshima, Etsuo
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan; Fuji Photo Film Co., Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 36pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006265107	A2	20061005	JP 2005-81151	20050322

PRIORITY APPLN. INFO.: JP 2005-81151 20050322
OTHER SOURCE(S): MARPAT 145:404147
GI

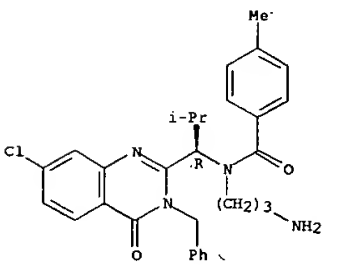


I

AB The invention provides antiglaucoma agents characterized by containing thiadiazoline derivative I (n = 1-3; R1 = H/R2 = lower alkyl or R1/R2 = alkylene; R3 = lower alkyl; R4 = H, substituted sulfonylamino; substituted amino; substituted carbonyl, etc.; R5 = (un)substituted aryl), or its salt. For example, (-)-N-[4-(2,2-dimethylpropionyl)-5-(2-methanesulfonylaminoethyl)-5-phenyl-4,5-dihydro-1,3,4-thiadiazol-2-yl]-2,2-dimethylpropanamide (II) was prepared, and examined for its effects on human vascular endothelium proliferation inhibition in vitro and on intraocular pressure decrease in vivo. Also, a tablet containing II 20 mg/tablet was formulated.
IT 336113-53-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiglaucoma agents containing thiadiazoline derivs.)
RN 336113-53-2 HCAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 3 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:736297 HCAPLUS
DOCUMENT NUMBER: 145:188899
TITLE: 2-(Aminomethyl)quinazolinones as mitotic kinesin inhibitors, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Coleman, Paul J.; Hartman, George D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006078598	A2	20060727	WO 2006-US1483	20060113

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

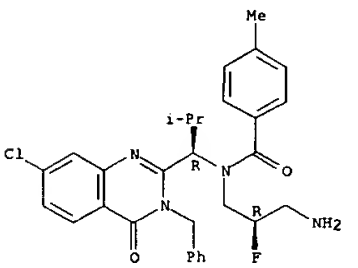
PRIORITY APPLN. INFO.: US 2005-644934P P 20050119
OTHER SOURCE(S): MARPAT 145:188899
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to fluorinated 2-(aminomethyl)quinazolinones and related compds. of general formula I, which are inhibitors of mitotic kinesins, particularly the mitotic kinesin KSP. In compds. I, R1 is H or fluoro; n is 0, 1 or 2; R2 is selected from H, (un)substituted C1-10 alkyl, (un)substituted aryl, (un)substituted C3-8 cycloalkyl, (un)substituted C2-10 alkenyl, (un)substituted C2-10 alkynyl, and (un)substituted heterocyclyl; p is 0-3; each R3 is independently selected from halo, OH, carboxy, (un)substituted C1-10 alkyl, (un)substituted aryl, (un)substituted sulfamoyl, (un)substituted C1-10 alkoxycarbonyl, (un)substituted C2-11 acyl, etc.; and R4 is selected from H, halo, OH, cyano, carboxy, formyl, (un)substituted C1-10 alkyl, (un)substituted aryl, C1-10 (un)substituted alkoxycarbonyl, etc. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I and a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment of cellular proliferative diseases, such as cancer or inflammation. Mono-protection of 2-fluoro-1,3-propanediol with tert-butyldiphenylsilyl chloride followed by oxidation and reductive amination with II (preparation referenced) gave III, which underwent acylation

L4 ANSWER 3 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
with 4-methylbenzoyl chloride, deprotection, mesylation, substitution with azide, and redn., resulting in the formation of quinazolinone IV. The individual enantiomers of IV were isolated by chiral HPLC. The prepd. compds. express IC50 values of 50 μM or less in a kinesin ATPase inhibition assay.
IT 902133-21-5P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(chiral drug candidate; preparation of fluorinated (aminoalkyl)quinazolinones as mitotic kinesin inhibitors)
RN 902133-21-5 HCAPLUS
CN Benzamide, N-[(2R)-3-amino-2-fluoropropyl]-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

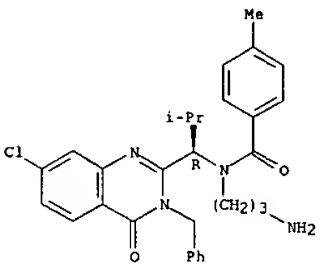


L4 ANSWER 4 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:614461 HCAPLUS
DOCUMENT NUMBER: 145:158917
TITLE: New therapies for hepatocellular carcinoma
AUTHOR(S): Avila, M. A.; Berasain, C.; Sangro, B.; Prieto, J.
CORPORATE SOURCE: Division of Hepatology and Gene Therapy, Center for Applied Medical Research (CIMA), University of Navarra, Pamplona, Spain
SOURCE: Oncogene (2006), 25(27), 3866-3884
CODEN: ONCNES; ISSN: 0950-9232
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. Hepatocellular carcinoma (HCC), one of the most common cancers worldwide, is often diagnosed at an advanced stage when most potentially curative therapies such as resection, transplantation or percutaneous and transarterial interventions are of limited efficacy. The fact that HCC is resistant to conventional chemotherapy, and is rarely amenable to radiotherapy, leaves this disease with no effective therapeutic options and a very poor prognosis. Therefore, the development of more effective therapeutic tools and strategies is much needed. HCCs are phenotypically and genetically heterogeneous tumors that commonly emerge on a background of chronic liver disease. However, in spite of this heterogeneity recent insights into the biol. of HCC suggest that certain signaling pathways and mol. alterations are likely to play essential roles in HCC development by promoting cell growth and survival. The identification of such mechanisms may open new avenues for the prevention and treatment of HCC through the development of targeted therapies. In this review we will describe the new potential therapeutic targets and clin. developments that have emerged from progress in the knowledge of HCC biol., In addition, recent advances in gene therapy and combined cell and gene therapy, together with new radiotherapy techniques and immunotherapy in patients with HCC will be discussed.

IT 336113-53-2, Ispinesib
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(new therapies for hepatocellular carcinoma)
RN 336113-53-2 HCAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

REFERENCE COUNT: 232 THERE ARE 232 CITED REFERENCES AVAILABLE FOR

L4 ANSWER 5 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:314569 HCAPLUS
DOCUMENT NUMBER: 145:369312
TITLE: Increased therapeutic potential of an experimental anti-mitotic inhibitor SB715992 by genistein in PC-3 human prostate cancer cell line
AUTHOR(S): Davis, David A.; Sarkar, Sarah H.; Hussain, Maha; Li, Yiwei; Sarkar, Fazlul H.
CORPORATE SOURCE: Department of Pathology, Karmanos Cancer Institute, Wayne State University School of Medicine, Detroit, MI, USA
SOURCE: BMC Cancer (2006), 6, No pp. given
CODEN: BCMACL; ISSN: 1471-2407
URL: http://www.biomedcentral.com/content/pdf/1471-2407-6-22.pdf
PUBLISHER: BioMed Central Ltd.
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English

AB Background: Kinesin spindle proteins (KSP) are motor proteins that play an essential role in mitotic spindle formation. HsEg5, a KSP, is responsible for the formation of the bipolar spindle, which is critical for proper cell division during mitosis. The function of HsEg5 provides a novel target for the manipulation of the cell cycle and the induction of apoptosis. SB715992, an exptl. KSP inhibitor, has been shown to perturb bipolar spindle formation, thus making it an excellent candidate for anti-cancer agent. Our major objective was (a) to investigate the cell growth inhibitory effects of SB715992 on PC-3 human prostate cancer cell line, (b) to investigate whether the growth inhibitory effects of SB715992 could be enhanced when combined with genistein, a naturally occurring isoflavone and, (c) to determine gene expression profile to establish mol. mechanism of action of SB715992. Methods: PC-3 cells were treated with varying concentration of SB715992, 30 μM of genistein, and SB715992 plus 30 μM of genistein. After treatments, PC-3 cells were assayed for cell proliferation, induction of apoptosis, and alteration in gene and protein expression using cell inhibition assay, apoptosis assay, microarray anal., real-time RT-PCR, and Western Blot anal. Results: SB715992 inhibited cell proliferation and induced apoptosis in PC-3 cells. SB715992 was found to regulate the expression of genes related to the control of cell proliferation, cell cycle, cell signaling pathways, and apoptosis. In addition, our results showed that combination treatment with SB715992 and genistein caused significantly greater cell growth inhibition and induction of apoptosis compared to the effects of either agent alone. Conclusion: Our results clearly show that SB715992 is a potent anti-tumor agent whose therapeutic effects could be enhanced by genistein. Hence, we believe that SB715992 could be a novel agent for the treatment of prostate cancer with greater success when combined with a nontoxic natural agent like genistein.

IT 514820-03-2, SB 715992S
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(KSP inhibitor SB715992 alone inhibited proliferation, induced apoptosis and regulated genes related to cell cycle and signaling, but its combination with genistein notably enhanced anti-mitotic activity in human PC-3 cell)

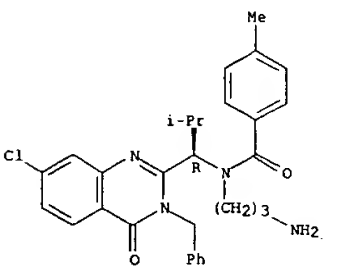
RN 514820-03-2 HCAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-

L4 ANSWER 5 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

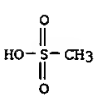
CRN 336113-53-2
CMF C30 H33 Cl N4 O2

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:212840 HCAPLUS
DOCUMENT NUMBER: 144:267313
TITLE: Novel compositions and methods of treatment of cellular proliferative diseases using quinazolinone derivs.
INVENTOR(S): Auger, Kurt R.; Jackson, Jeffrey R.; Sutton, David
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006026597	A2	20060309	WO 2005-US30788	20050830

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-605549P P 20040830
US 2005-694531P P 20050628

OTHER SOURCE(S): MARPAT 144:267313

AB Disclosed inter alia is the use of quinazolinone derivs., which are modulators of a mitotic kinesin such as KSP, in the treatment of cellular proliferative diseases. The quinazolinone derivs. are administered with another chemotherapeutic agent selected from alkylating agents, anti metabolites, platinating agents, topoisomerase inhibitors, tubulin agents and signaling inhibitors (e.g., kinase inhibitors). Pharmaceutical compns. comprising one or both types of active agents are also disclosed.

IT 514820-03-2
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel compns. and methods of treatment of cellular proliferative diseases using quinazolinone derivs.)

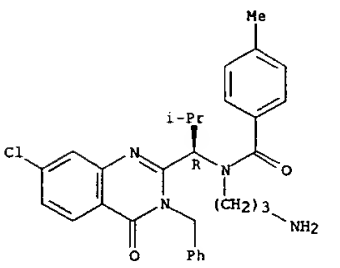
RN 514820-03-2 HCAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 336113-53-2
CMF C30 H33 Cl N4 O2

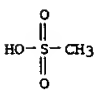
Absolute stereochemistry.

L4 ANSWER 6 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 75-75-2
CMF C H4 O3 S



L4 ANSWER 7 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1335155 HCAPLUS
DOCUMENT NUMBER: 144:74923
TITLE: Compositions, devices and methods for treating cardiovascular disease using KSP inhibitors
INVENTOR(S): Malik, Fady; Bergnes, Gustave
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 18 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005282834	A1	20051222	US 2005-147406	20050607
WO 2005123083	A1	20051229	WO 2005-US19791	20050607

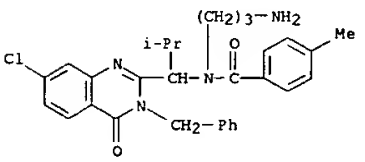
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-578755P P 20040609
OTHER SOURCE(S): MARPAT 144:74923

AB In situ drug-delivering medical devices, materials and associated compds., pharmaceutical compns. and methods are disclosed for the treatment of diseases of proliferating cells, particularly atherosclerosis and restenosis. The medical device or material comprising an effective amount of at least one inhibitor of kinesin spindle protein (KSP), especially human KSP (HsEg5). For example, a Paralene C/active agent solution was made by dissolving 1.75 mg/mL poly(ethylene-co-vinyl acetate), 1.75 mg/mL polybutyl methacrylate, and 1.5 mg/mL N-(3-aminopropyl)-N-[1-(3-benzyl-7-chloro-4-oxo-3,4-dihydroquinazolin-2-yl)-2-methylpropyl]-3-fluoro-4-methylbenzamide in 50 mL MTBE, with stirring at room temperature The stent was coated with the Paralene C/active agent solution using a vapor deposition method provided. The dried stent was weighed, the amount of Paralene C/active agent coating was determined as the difference between pre- and post-coating wts., and the dosage of active agent was calculated The active agent-coated stent demonstrated continuous delivery of active agent into the release medium over the test period.

IT 336115-13-0
RL: DEV (Device component use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. and devices for sustained delivery of KSP inhibitors for treating cardiovascular disease)

RN 336115-13-0 HCAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1058193 HCAPLUS
DOCUMENT NUMBER: 143:454697
TITLE: Development of a high-throughput robotic fluorescence-based assay for HsEg5 inhibitor screening
AUTHOR(S): Zhang, Bin; Senator, David; Wilson, Christopher J.; Ng, Shi-Chung
CORPORATE SOURCE: Department of Chemical Genomics, ArQule Inc., Woburn, MA, 01801, USA
SOURCE: Analytical Biochemistry (2005), 345(2), 326-335
CODEN: ANBCA2; ISSN: 0003-2697
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB HsEg5 has microtubule-activated ATPase activity and plays essential roles in bipolar spindle formation. Because HsEg5 is validated as an attractive cancer target, in vitro biochem. assays have been developed for identifying compds. with high inhibitory activity. Several compds., including quinazoline ring-containing compds., have been identified and are currently in clin. trials. Although considerable progress has been made during recent years, limitations of HsEg5 in vitro screening assays still reside in two main aspects. First, colorimetric-based assays exhibit relatively low sensitivity and limited dynamic range that are unable to accurately measure compds. with nanomolar potencies. Second, current fluorescence assays are relatively low throughput without "mix and read" homogeneous features. In this study, the authors describe a sensitive fluorescence-based assay for HsEg5-specific inhibitors. By coupling several enzymes' activities, the release of ADP was measured quant. through red fluorescent resorufin. The Km for ATP hydrolysis in this assay was calculated as 23 μM. The known HsEg5 inhibitors CK0106023 and CK0238273 gave IC50 values of 9.8 and 30.6 nM, resp. The authors' fluorescence assay has a 20-fold increase in sensitivity with broader dynamic range when compared with a colorimetric assay. The authors further automated this assay for high-throughput screening with a Z' factor of 0.8.

IT 514820-03-2, CK-0238273
RL: ANT (Analyte); ANST (Analytical study)
(inhibitor; development of high-throughput robotic fluorescence-based assay for HsEg5 kinesin ATPase inhibitor screening)

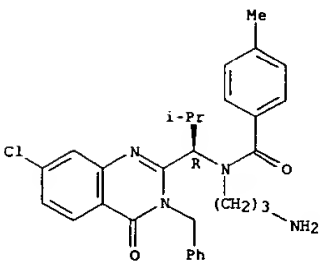
RN 514820-03-2 HCAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 336113-53-2
CMF C30 H33 Cl N4 O2

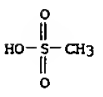
Absolute stereochemistry.

(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)



CM 2

CRN 75-75-2
CMF C H4 O3 S



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:611678 HCAPLUS
DOCUMENT NUMBER: 143:103378
TITLE: Implantable medical devices coated with kinesin spindle protein and biocompatible polymer to treat or inhibit restenosis
INVENTOR(S): Hezi-Yamit, Ayala; Singh, Sabeena; Trudel, Julie
PATENT ASSIGNEE(S): Medtronic Vascular, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U.S. Provisional Ser. No. 532,358.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005152940	A1	20050714	US 2004-996031	20041123
PRIORITY APPLN. INFO.:			US 2003-532358P P	20031223

AB Implantable medical devices having coatings of certain antiproliferative agents, particularly a certain kinesin spindle protein (KSP) inhibitor, are disclosed. The anti-restenotic KSP inhibitor is CK-0238273, and pharmaceutically acceptable derivs. thereof. The anti-restenotic medical devices include stents, catheters, micro-particles, probes and vascular grafts. Intravascular stents are preferred medical devices. Moreover, medical devices composed entirely of biocompatible polymer-KSP inhibitor blends are disclosed. For example, a stent was coated with a mixture of 250 mg of CK-0238273 solution and 250 mg of polycaprolactone to achieve a final coating (drug plus polymer) weight of between about 10 μg and 1.0 mg. The ability of kinesin spindle protein inhibitor to reduce neointimal hyperplasia in response to intravascular stent placement in an acutely injured porcine coronary artery was demonstrated.

IT 514820-03-2, CK 0238273
RL: DEV (Device component use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CK 0238273; implantable medical devices coated with kinesin spindle protein inhibitor and biocompatible polymer to treat or inhibit restenosis)

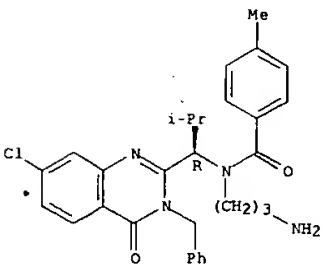
RN 514820-03-2 HCAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 336113-53-2
CMF C30 H33 Cl N4 O2

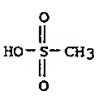
Absolute stereochemistry.

L4 ANSWER 9 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

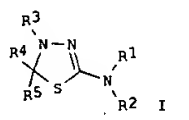
CRN 75-75-2
CMF C H4 O3 S



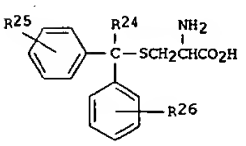
L4 ANSWER 10 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:589184 HCAPLUS
DOCUMENT NUMBER: 143:127882
TITLE: Genes correlated with sensitivity of human cancer cells to thiadiazoline or cysteine derivative mitotic kinesin Eg5 inhibitors identified by expression profiling
INVENTOR(S): Shinohara, Fumikazu; Obayashi, Masaya; Yoshida, Tetsuo; Tsujita, Tetsuya; Nakai, Ryuichiro; Yamashita, Yoshinori
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061707	A1	20050707	WO 2004-JP19783	20041224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			JP 2003-428289	A 20031224
OTHER SOURCE(S):		MARPAT 143:127882		

GI



I



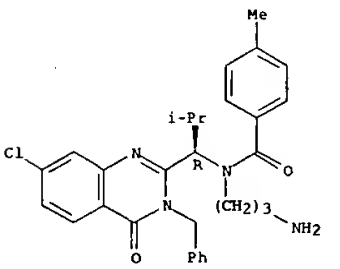
II

AB A method for identifying genes correlated with the sensitivity to of the cancer cells Eg5 inhibitors, use of the genes identified or proteins encoded by the genes for increasing the sensitivity of the cancer cells to the Eg5 inhibitor, or screening compds. having such effects, are disclosed. The method comprises measuring the sensitivities to an Eg5 inhibitor of two or more human cancer cell lines and the expression levels of one or more human genes and identifying genes showing a correlation between its expression level and the sensitivity to the Eg5 inhibitor as genes correlated with the sensitivity to the Eg5 inhibitor. Thiadiazoline derivs. are represented by the general formula (I) and pharmacol. acceptable salts thereof [R1,R4 = H, each (un)substituted lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, aryl, or heterocyclyl; R2 = R1, R4, C(:W)R6, (un)substituted NH2; W = O, S; R6 = R1, R4, (un)substituted NH2, etc.; or -NR1R4; -OR1; -SR1; -NR11R12 (R11 and R12 same or -C(=O)R13 (where R13 = R1, -NR7R8, -OR9A, or -SR10A), or -SO2R1; R5 = each (un)substituted lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, aryl, or heterocyclyl; or R4 and R5 are joined together to form (CR15AR15B)m1-Q-(CR15cR15D)m2; Q = single bond, each (un)substituted phenylene or cycloalkylene; m1, m2 = 0-4; R15A, R15B, R15c, R15D = H, halo, (un)substituted lower alkyl, -OR16, -CONR7BR8B, -SO2NR7BR8B, -COR17, -NR18R19, -COR20, -SO2R21, -CO2R22, all groups same as R5); R3 = H, C(=W)R6]. Eg5 inhibitors may also be cysteine derivs. II (R24 = (un)substituted aryl, arom. heterocyclyl; R25, R26 = O, halo, lower alkyl, lower alkoxy, OH, CO2H, CH2OH, or together O, S, or a bond). These compds. inhibit mitotic kinesin Eg5 in G2/M phase of the cell cycle and are useful as antitumor agents for treating malignant tumors.

IT 336113-53-2
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(cysteine derivs.; genes correlated with sensitivity of human cancer cells to thiadiazoline or cysteine derivative mitotic kinesin Eg5 inhibitors identified by expression profiling)

RN 336113-53-2 HCAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



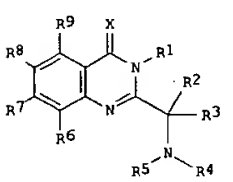
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:490357 HCAPLUS
DOCUMENT NUMBER: 143:43896
TITLE: Preparation of quinazolinone compounds as anticancer agents
INVENTOR(S): Wang, Weibo; Lagniton, Liana M.; Constantine, Ryan N.; Desai, Manoj C.
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051922	A1	20050609	WO 2004-US39448	20041124
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004293464	A1	20050609	AU 2004-293464	20041124
CA 2546932	AA	20050609	CA 2004-2546932	20041124
US 2005209254	A1	20050922	US 2004-996814	20041124
EP 1689724	A1	20060816	EP 2004-812051	20041124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				

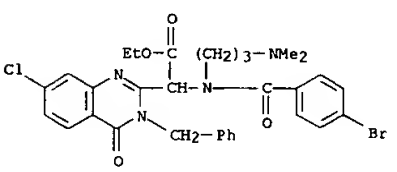
PRIORITY APPLN. INFO.: US 2003-525059P P 20031125
WO 2004-US39448 W 20041124

OTHER SOURCE(S): MARPAT 143:43896
GI



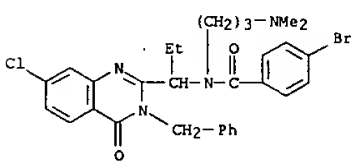
AB Title compds. I [X = O, S; R1 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R2 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R3 = CO2R10, COR10, CONR11R12, etc.; R10, R11, R12 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R4 = H,

L4 ANSWER 11 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(un)substituted alkyl, (un)substituted alkenyl, etc.; R5 = H, (un)substituted alkyl, (un)substituted alkoxy, etc.; R6, R7, R8, R9 = H, halo, hydroxy, etc.] and their pharmaceutically acceptable salts were prepd. For example, 4-methylbenzoylation of compd. I [X = O; R1 = benzyl; R2 = H; R3 = CONMe2; R4 = 3-(tert-butoxycarbonylamino)propyl; R5 = H; R7 = Cl; R6 = R8 = R9 = H], e.g., prepd. from 2-amino-4-chlorobenzoic acid in 4 steps, followed by treatment with trifluoroacetic acid afforded compd. I [X = O; R1 = benzyl; R2 = H; R3 = CONMe2; R4 = 3-aminopropyl; R5 = 4-methylbenzoyl; R7 = Cl; R6 = R8 = R9 = H]. Compds. I are claimed useful as KSP (kinesin spindle protein) inhibitors for the treatment of cancer.
IT 853302-69-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinone compds. as KSP inhibitors for treatment of cancer)
RN 853302-69-9 HCAPLUS
CN 2-Quinazolineacetic acid, α-[(4-bromobenzoyl)[3-(dimethylamino)propyl]amino]-7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:363268 HCAPLUS
DOCUMENT NUMBER: 141:46875
TITLE: Antitumor Activity of a Kinesin Inhibitor
AUTHOR(S): Sakowicz, Roman; Finer, Jeffrey T.; Beraud, Christophe; Crompton, Anne; Lewis, Evan; Fritsch, Alex; Lee, Yan; Mak, John; Moody, Robert; Turincio, Rebecca; Chabala, John C.; Gonzales, Paul; Roth, Stephanie; Weitman, Steve; Wood, Kenneth W.
CORPORATE SOURCE: Institute for Drug Development, Cancer Therapy and Research Center, San Antonio, TX, USA
SOURCE: Cancer Research (2004), 64(9), 3276-3280
CODEN: CNREA8; ISSN: 0008-5472
PUBLISHER: American Association for Cancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Several members of the kinesin family of microtubule motor proteins play essential roles in mitotic spindle function and are potential targets for the discovery of novel antimitotic cancer therapies. KSP, also known as HsEg5, is a kinesin that plays an essential role in formation of a bipolar mitotic spindle and is required for cell cycle progression through mitosis. We identified a potent inhibitor of KSP, CK0106023, which causes mitotic arrest and growth inhibition in several human tumor cell lines. Here we show that CK0106023 is an allosteric inhibitor of KSP motor domain ATPase with a Ki of 12 nM. Among five kinesins tested, CK0106023 was specific for KSP. In tumor-bearing mice, CK0106023 exhibited antitumor activity comparable to or exceeding that of paclitaxel and caused the formation of monopolar mitotic figures identical to those produced in cultured cells. KSP was most abundant in proliferating human tissues and was absent from cultured postmitotic neurons. These findings are the first to demonstrate the feasibility of targeting mitotic kinesins for the treatment of cancer.
IT 336115-72-1, CK 0106023
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antitumor activity of kinesin inhibitor)
RN 336115-72-1 HCAPLUS
CN Benzamide, 4-bromo-N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]propyl]-N-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)



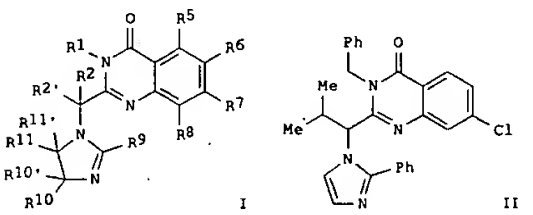
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:931177 HCAPLUS
DOCUMENT NUMBER: 140:5063
TITLE: 2-[1-(Imidazol-1-yl)alkyl]-3H-quinazolin-4-one derivatives, pharmaceutical compositions containing them, and methods of their use as KSP kinesin inhibitors for the treatment of cellular proliferative diseases
INVENTOR(S): Feng, Bainian; Bergnes, Gustave; Morgans, David J. C., Jr.; Dhanak, Dashyant; Knight, Steven David; Darcy, Michael Gerard
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA; Smithkline Beecham Corporation
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097053	A1	20031127	WO 2003-US14787	20030508
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003270015	A1	20031202	AU 2003-270015	20030508
US 2004077668	A1	20040422	US 2003-435069	20030508
EP 1553931	A1	20050720	EP 2003-753011	20030508
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005530785	T2	20051013	JP 2004-505052	20030508
US 2006094735	A1	20060504	US 2005-262506	20051027

PRIORITY APPLN. INFO.: US 2002-379531P P 20020509
US 2003-435069 A1 20030508
WO 2003-US14787 W 20030508

OTHER SOURCE(S): MARPAT 140:5063
GI



I II

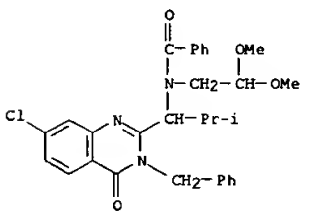
L4 ANSWER 13 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB Compds. useful for treating cellular proliferative diseases and disorders by modulating the activity of KSP (kinesin-like spindle protein), and especially human KSP, are disclosed (no data). In particular, compds. I are claimed [wherein: R1 = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; R2, R2' = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; or R2R2' = (un)substituted 3- to 7-membered ring; R5, R6, R7, R8 = H, (un)substituted alkyl or alkoxy, halo, OH, NO2, cyano, dialkylamino, alkylsulfonyl, alkylsulfonamido, alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, (un)substituted aryl, aryloxy, heteroaryl, or heteroaryloxy; R9 = H, (un)substituted alkyl, aryl, aralkyl, or heteroaryl; R10, R10', R11, R11' = H, (un)substituted alkyl, aryl, or aralkyl; or R10'R11' = pi bond; including single and mixed stereoisomers and pharmaceutically acceptable salts and/or solvates]. Approx. 60 compds. I are described in examples. Compds. I having (R)-configuration at the stereogenic center bearing R2 are preferred for reasons of greater potency than the (S)-isomers. For instance, 2-(1-amino-2-methylpropyl)-3-benzyl-7-chloro-3H-quinazolin-4-one underwent a sequence of N-alkylation at amino with BrCH2CH(OMe)2 and K2CO3 (59%), amidation of the resultant secondary amine with PhCOCl and Et3N (54%), and deprotection/cyclocondensation with NH4OAc in refluxing AcOH (23%) to give invention compound II. Compds. I are said to be active against human ovarian cancer cells SKOV3 in vitro. Visual inspection revealed that the compds. caused cell cycle arrest in the prometaphase stage of mitosis; DNA was condensed and spindle formation had initiated, but arrested cells uniformly displayed monopolar spindles, indicating that there was an inhibition of spindle pole body separation

IT 627891-89-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of (imidazolylalkyl)quinazolinone derivs. as KSP kinesin inhibitors for the treatment of cellular proliferative diseases)

RN 627891-89-8 HCAPLUS

CN Benzamide, N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-N-(2,2-dimethoxyethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 14 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:678784 HCAPLUS
DOCUMENT NUMBER: 139:214481
TITLE: Syntheses of enantiomerically pure quinazolinones
INVENTOR(S): Bergnes, Gustav; Ha, Edward; Yiannikourous, George; Kalaritis, Panos; Yonce, Brandon E.; Welday, Kurt Alan, Jr.
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA; SmithKline Beecham Corp.
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070701	A2	20030828	WO 2003-US4713	20030214
WO 2003070701	A3	20031016		
WO 2003070701	B1	20031218		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2475879	AA	20030828	CA 2003-2475879	20030214
AU 2003213092	A1	20030909	AU 2003-213092	20030214
US 2004067969	A1	20040408	US 2003-366828	20030214
US 7009049	B2	20060307		
EP 1480980	A2	20041201	EP 2003-709135	20030214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005529076	T2	20050929	JP 2003-569608	20030214
US 2006041130	A1	20060223	US 2005-254211	20051020

PRIORITY APPLN. INFO.:		
US 2002-357244P	P	20020215
US 2002-380746P	P	20020514
US 2003-366828	A3	20030214
WO 2003-US4713	W	20030214

OTHER SOURCE(S): MARPAT 139:214481
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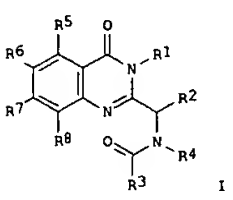


AB The present invention provides intermediates, synthetic methods and novel

L4 ANSWER 14 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

quinazolinone (shown as I; e.g. (R)-N-(3-aminopropyl)-N-[1-(3-benzyl-7-chloro-4-oxo-3,4-dihydroquinazolin-2-yl)-2-methylpropyl]-4-methylbenzamide) compns. of matter, which are inhibitors of the mitotic kinesin KSP (no data) and are useful in the treatment of cellular proliferative diseases, for example cancer, hyperplasias, restenosis, cardiac hypertrophy, immune disorders and inflammation (no data); only the compds., compns. of matter and synthetic methods are claimed. The method comprises contacting HO2CCH(R2)NHX (R2 = oxaalkyl or (un)substituted alkyl, aryl, alkylaryl, heteroaryl, or alkylheteroaryl; X = H, protecting group (e.g. Boc, CBZ, phthalide, allyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl); e.g. valine) with iso-Bu chloroformate followed by contacting the resulting product with (un)substituted 2-aminobenzoic acids to give I. Eight example prepns. of I are included. For example, (S)-[1-(3-benzyl-7-chloro-4-oxo-3,4-dihydroquinazolin-2-yl)-2-methylpropyl]carbamic acid tert-Bu ester was prepd. starting from N-Boc-L-valine and involving intermediates 2-[[2-[(tert-butoxycarbonyl)amino]-L-3-methylbutyryl]amino]-4-chlorobenzoic acid, (S)-[1-(7-chloro-4-oxo-4H-benzo[d][1,3]oxazin-2-yl)-2-methylpropyl]carbamic acid tert-Bu ester, (S)-[1-[[(2-benzylcarbamoyl-5-chlorophenyl)imino]methyl]-2-methylpropyl]carbamic acid tert-Bu ester (in mixt. with the final product). In the key step, to 2-[[2-[(tert-butoxycarbonyl)amino]-L-3-methylbutyryl]amino]-4-chlorobenzoic acid was added 13.2 mL (0.1 mol) of iso-Bu chloroformate over 15 min (internal temp. 5°) followed by the addn. of 11.1 mL (0.1 mol) of anhyd. N-methylmorpholine over 15 min at 0°; the mixt. was stirred for an addnl. hour at 0° to give (S)-[1-(7-chloro-4-oxo-4H-benzo[d][1,3]oxazin-2-yl)-2-methylpropyl]carbamic acid tert-Bu ester. For I: R1 is H or (un)substituted alkyl, aryl, alkylaryl, heteroaryl, or alkylheteroaryl; R3 is H, oxaalkyl, R9O-, R9NH- or (un)substituted alkyl, aryl, alkylaryl, heteroaryl, alkylheteroaryl, or oxaalkylaryl; R4 is H or (un)substituted alkyl, aryl, alkylaryl, heteroaryl, or alkylheteroaryl; R5, R6, R7 and R8 = H, hydroxy, (un)substituted alkyl, alkoxy, halogen, fluoroalkyl, nitro, cyano, amino, alkylamino, dialkylamino, alkylsulfonyl, alkylsulfonamido, sulfonamidoalkyl, sulfonamidoaryl, alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, aryl or heteroaryl; and R9 is (un)substituted alkyl, aryl, alkylaryl, heteroaryl, or alkylheteroaryl. The compns. of matter comprise I and detectable amts. of ≥1 unreacted starting materials and/or a cyclo-dehydration reagent; they are claimed, presumably because it is important to monitor the purity of pharmaceutical compds. for the presence of such materials, which presence comprises a way of detecting use of a process of the invention.

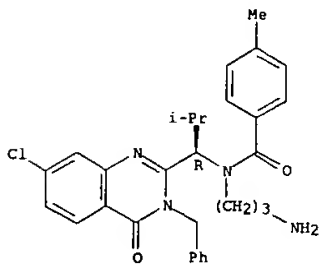
IT 336113-53-2P, (R)-N-(3-Aminopropyl)-N-[1-(3-benzyl-7-chloro-4-oxo-3,4-dihydroquinazolin-2-yl)-2-methylpropyl]-4-methylbenzamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(syntheses of enantiomerically pure quinazolinones)

RN 336113-53-2 HCAPLUS

CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



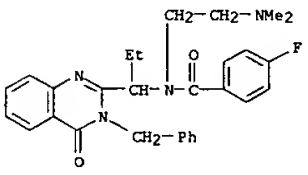
L4 ANSWER 15 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

(hetero)aralkyl; R2 = oxaalkyl or (un)substituted alkyl, (hetero)aryl, or (hetero)aralkyl; R5-R8 = independently H, (fluoro)alkyl, alkoxy, halo, NO2, dialkylamino, alkylsulfonyl, alkylsulfamido(alkyl), sulfonamidoaryl, alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, or (hetero)aryl] by reaction of the compd. with an alkali alkoxide of a primary alc. and isolation of the racemate. For example, treatment of (S)-II with NaOEt (21% by wt. soln. in denatured alc. contg. 5% toluene) in abs. EtOH and heating at reflux for 36 h, followed by crystn. gave (±)-II in a 1:1.1 mixt. of (R)- and (S)-isomers. The invention also provides for the subsequent resoln. of the racemate and use of the other enantiomer in the synthesis of pharmacol. active therapeutic agents. Thus, an efficient method of converting an inactive or undesirable enantiomer into the other usable, desirable enantiomer is disclosed.

IT 336113-50-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation and racemization of chiral quinazolinones)

RN 336113-50-9 HCAPLUS

CN Benzamide, N-[1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]propyl]-N-[2-(dimethylamino)ethyl]-4-fluoro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:417728 HCAPLUS
DOCUMENT NUMBER: 139:6884
TITLE: Process for the racemization of chiral quinazolinones
INVENTOR(S): Yao, Bing; Smith, Whitney W.; Bergnes, Gustave; Morgans, David, Jr.
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003043995	A1	20030530	WO 2002-US37410	20021120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002346471	A1	20030610	AU 2002-346471	20021120
US 2003166933	A1	20030904	US 2002-300967	20021120
US 6753428	B2	20040622		
US 2004192913	A1	20040930	US 2004-773602	20040206
PRIORITY APPLN. INFO.:			US 2001-332148P	P 20011120
			US 2002-300967	A1 20021120
			WO 2002-US37410	W 20021120

OTHER SOURCE(S): MARPAT 139:6884
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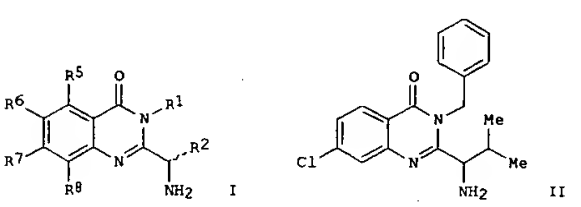

AB Racemates were obtained from one of the enantiomers, or an enantiomerically enriched mixture, of an optically active quinazolinone derivative I [wherein R1 = H or (un)substituted alkyl, (hetero)aryl, or

L4 ANSWER 16 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:417699 HCAPLUS
DOCUMENT NUMBER: 139:6883
TITLE: Preparation of substituted quinazolines as modulators of Rho C activity
INVENTOR(S): Sun, Dongxu; Perkins, Edward L.; Tugendreich, Stuart
PATENT ASSIGNEE(S): Iconix Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003043961	A2	20030530	WO 2002-US37292	20021119
WO 2003043961	A3	20031218		
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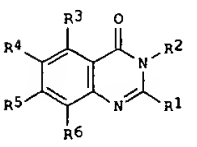
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002366103	A1	20030610	AU 2002-366103	20021119
US 2003171387	A1	20030911	US 2002-300651	20021119
US 7053216	B2	20060530		
PRIORITY APPLN. INFO.:			US 2001-331755P	P 20011119
			WO 2002-US37292	W 20021119

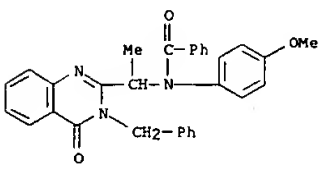
OTHER SOURCE(S): MARPAT 139:6883
GI



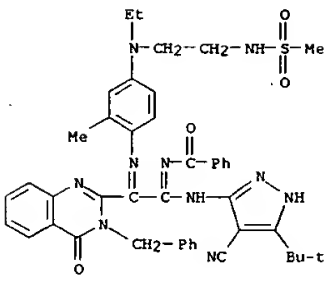
AB Title compds. I [R1 = H, alkyl, aralkyl, aryl-alkenyl, etc.; R2 = alkyl, aryl, aralkyl, etc.; R3-6 = H, alkyl, halo, NO2, OH, alkoxy, etc.] are claimed. Several examples were said to have excellent potency in a Rho C enzyme assay [no data]. I are able to modulate the activity of a Rho C enzyme.

IT 531525-74-3P, 2-[1-[N-Benzoyl-N-[4-methoxyphenyl]amino]ethyl]-3-benzylquinazolin-4-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-sulfanyl benzothiazolyl modulators of Rho C activity)

L4 ANSWER 16 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 531525-74-3 HCAPLUS
CN Benzamide, N-[1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



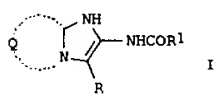
L4 ANSWER 17 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 17 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:827801 HCAPLUS
DOCUMENT NUMBER: 137:343833
TITLE: Imidazole derivative photographic yellow coupler and silver halide photographic material
INVENTOR(S): Shimada, Yasuhiro
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 28 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002318445	A2	20021031	JP 2001-125024	20010423
PRIORITY APPLN. INFO.:			JP 2001-125024	20010423
OTHER SOURCE(S):	MARPAT 137:343833			

GI



AB Yellow dye-forming coupler I (Q = nonmetal atoms to form N-containing heterocycle; R, R' = substituent) and silver halide photog. material containing I are claimed. The releasing group of the coupler functions as a dye chromophore, and the coupler gives a dye with high mol. extinction coefficient and clear hue.
IT 473912-77-5P
RL: PNU (Preparation, unclassified); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(dye formed from imidazole derivative photog. yellow coupler)
RN 473912-77-5 HCAPLUS
CN Benzamide, N-[1-[[4-cyano-5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]amino]-2-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-[[4-[ethyl[2-[(methylsulfonyl)amino]ethyl]amino]-2-methylphenyl]imino]ethylidene]- (9CI) (CA INDEX NAME)

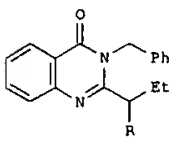
L4 ANSWER 18 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:935583 HCAPLUS
DOCUMENT NUMBER: 136:53759
TITLE: Preparation of N-acylquinazolinonealkylamines as KSP kinesin inhibitors
INVENTOR(S): Finer, Jeffrey T.; Bergnes, Gustav; Feng, Bainian; Smith, Whitney W.; Chabala, John C.; Morgans, David J., Jr.
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA
SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098278	A1	20011227	WO 2001-US13901	20010427
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6545004	B1	20030408	US 2000-699047	20001024
JP 2003048881	A2	20030221	JP 2002-156766	20001026
EP 1686120	A2	20060802	EP 2006-75681	20001026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY				
US 6562831	B1	20030513	US 2000-724644	20001128
US 6630479	B1	20031007	US 2000-724713	20001128
US 6831085	B1	20041214	US 2000-724941	20001128
US 7105668	B1	20060912	US 2000-724897	20001128
CA 2413426	AA	20011227	CA 2001-2413426	20010427
EP 1296959	A1	20030402	EP 2001-932769	20010427
EP 1296959	B1	20060419		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011898	A	20030513	BR 2001-11898	20010427
CN 1437585	A	20030820	CN 2001-811582	20010427
HU 200301201	A2	20031229	HU 2003-1201	20010427
JP 2004501140	T2	20040115	JP 2002-504234	20010427
NZ 523233	A	20041029	NZ 2001-523233	20010427
AT 323684	E	20060515	AT 2001-932769	20010427
PT 1296959	T	20060731	PT 2001-932769	20010427
CN 1824656	A	20060830	CN 2005-10119288	20010427
EP 1707563	A2	20061004	EP 2006-75276	20010427
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY, TR				
ZA 2002010133	A	20030617	ZA 2002-10133	20021213
NO 2002006172	A	20030220	NO 2002-6172	20021220
US 2004023996	A1	20040205	US 2003-312323	20030815
HK 1053837	A1	20060623	HK 2003-106128	20030826
US 2004254203	A1	20041216	US 2004-893929	20040720
US 2005187232	A1	20050825	US 2005-84787	20050321
PRIORITY APPLN. INFO.:			US 2000-213104P P	20000621
			US 2000-699047 A	20001024

L4 ANSWER 18 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

	US 1999-198253P	P	19991027
	EP 2000-976656	A3	20001026
	JP 2001-533122	A3	20001026
	US 2000-724778	A3	20001128
	US 2000-724941	A3	20001128
	CN 2001-811582	A3	20010427
	EP 2001-932769	A3	20010427
	WO 2001-US13901	W	20010427

OTHER SOURCE(S): MARPAT 136:53759
GI



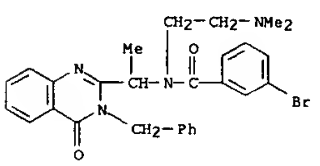
II

AB R1CR2R2'NRR4 [I; R = H, COR3, SO2R3', CH2R3''; R1 = (un)substituted 3,4-dihydro-4-oxoquinazolin-2-yl; R2,R2' = H, (oxa)alkyl, (hetero)aryl, etc.; R3 = H, alkyl, alkoxy, (hetero)aryl, etc.; R3',R4 = H, alkyl, (hetero)aryl, etc.; R3'' = alkyl, (hetero)aryl, etc.] were prepared Thus, 2-(H2N)C6H4CO2H was amidated by PrCOCl and the cyclized product cyclocondensed with PhCH2NH2 to give, after bromination, quinazolinone II (R = Br) which was converted in 2 steps to II [R = N(COC6H4F-4)CH2CH2NMe2]. Data for biol. activity of I were given.

IT 334003-55-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-acylquinazolinonealkylamines as KSP kinesin inhibitors)

RN 334003-55-3 HCAPLUS

CN Benzamide, 3-bromo-N-[1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:319882 HCAPLUS
DOCUMENT NUMBER: 134:326543
TITLE: Methods and compositions utilizing quinazolinones as KSP kinesin modulators
INVENTOR(S): Finer, Jeffrey T.; Bergnes, Gustave; Feng, Bainian; Smith, Whitney W.; Chabala, John C.
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA
SOURCE: PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001030768	A1	20010503	WO 2000-US29585	20001026
WO 2001030768	C2	20020815		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2388646	AA	20010503	CA 2000-2388646	20001026
BR 2000015110	A	20020702	BR 2000-15110	20001026
EP 1226129	A1	20020731	EP 2000-976656	20001026
EP 1226129	B1	20060524		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003048881	A2	20030221	JP 2002-156766	20001026
JP 2003512461	T2	20030402	JP 2001-533122	20001026
HU 200203430	A2	20030528	HU 2002-3430	20001026
NZ 518480	A	20040227	NZ 2000-518480	20001026
AU 774748	B2	20040708	AU 2001-14398	20001026
AT 327224	E	20060615	AT 2000-976656	20001026
EP 1686120	A2	20060802	EP 2006-75681	20001026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY				
US 6562831	B1	20030513	US 2000-724644	20001128
US 6630479	B1	20031007	US 2000-724713	20001128
US 6831085	B1	20041214	US 2000-724941	20001128
US 7105668	B1	20060912	US 2000-724897	20001128
CN 1824656	A	20060830	CN 2005-10119288	20010427
EP 1707563	A2	20061004	EP 2006-75276	20010427
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY, TR				
ZA 2002002930	A	20021028	ZA 2002-2930	20020415
NO 2002001907	A	20020607	NO 2002-1907	20020423
HK 1045994	A1	20060811	HK 2002-107382	20021009
ZA 2002010133	A	20030617	ZA 2002-10133	20021213
NZ 530074	A	20050324	NZ 2003-530074	20031210
US 2004254203	A1	20041216	US 2004-893929	20040720
AU 2004218601	A1	20041028	AU 2004-218601	20041004
US 2005187232	A1	20050825	US 2005-84787	20050321

PRIORITY APPLN. INFO.:

	US 1999-198253P	P	19991027
	US 2000-213104P	P	20000621
	US 2000-699047	A1	20001024
	EP 2000-976656	A3	20001026
	JP 2001-533122	A3	20001026
	WO 2000-US29585	W	20001026
	US 2000-724778	A3	20001128
	US 2000-724941	A3	20001128
	CN 2001-811582	A3	20010427
	EP 2001-932769	A3	20010427

OTHER SOURCE(S): MARPAT 134:326543
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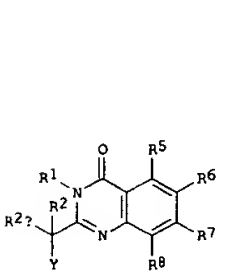
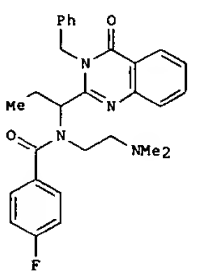


I



II

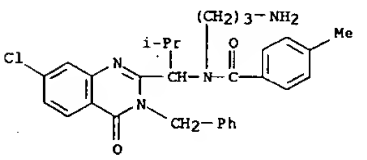
AB Quinazolinones (I) [wherein R1 = H, alkyl, (hetero)aryl, or (un)substituted alkyl(hetero)aryl; R2 and R2a = independently H or (un)substituted (oxa)alkyl, (hetero)aryl, or alkyl(hetero)aryl; Y = NR4COR3, NR4SO2R3a, NR4CH2R3b, or NHR4; R3 = H, oxaalkyl, or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, oxaalkylaryl, ether, or amino; R3a = H or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, or amino; R3b = (un)substituted alkyl, (hetero)aryl, or alkyl(hetero)aryl; R4 = H or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, or alkylene; R5-R8 = independently H, (fluoro)alkyl, alkoxy, halo, NO2, dialkylamino, alkylsulfonyl, alkylsulfonamido(alkyl or aryl), alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, or (hetero)aryl] were prepared by conventional and solid phase combinatorial synthetic methods as KSP kinesin inhibitors for treatment of cellular proliferative diseases. For example, II was synthesized in a 6-step sequence involving (1) amidation of anthranilic acid with butyryl chloride (65%), (2) cyclization to give 2-propyl-3,1-[4H]benzoxazin-4-one (62%), (3) treatment with PhCH2NH2 to give 2-propyl-3-benzylquinazolin-4-one (67%), bromination (92%), addition of N,N-dimethylethylenediamine (55%), and (6) amidation with p-fluorobenzoyl chloride (65%). I are useful for treating cancer, hyperplasia, restenosis, cardiac hypertrophy, immune disorders, and inflammation (no data). Methods of screening for compds. that will bind to a KSP kinesin or are modulators of KSP kinesin activity are also disclosed.

IT 336115-13-0P

L4 ANSWER 19 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of quinazolinone KSP kinesin modulators via conventional and solid phase combinatorial synthetic methods)

RN 336115-13-0 HCAPLUS

CN Benzamide, N-(3-aminopropyl)-N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:666928 HCAPLUS
DOCUMENT NUMBER: 133:261508
TITLE: Screening of antiviral compounds targeted to the HIV-1 gp41 core structure
INVENTOR(S): Jiang, Shibo; Debnath, Asim K.
PATENT ASSIGNEE(S): New York Blood Center, Inc., USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055377	A1	20000921	WO 2000-US6771	20000315
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6596497	B1	20030722	US 2000-525874	20000314
CA 2362532	AA	20000921	CA 2000-2362532	20000315
EP 1161564	A1	20011212	EP 2000-917952	20000315
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

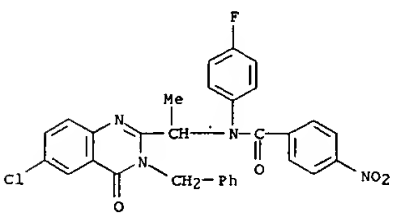
PRIORITY APPLN. INFO.:
US 1999-124907P P 19990317
US 2000-525874 A 20000314
WO 2000-US6771 W 20000315

OTHER SOURCE(S): MARPAT 133:261508

AB A method for the screening of antiviral compds. targeted to the HIV-1 gp41 core structure comprises capturing polyclonal antibodies from an animal other than a mouse directed against a trimer of a heterodimer containing an N-peptide and a C-peptide onto a solid-phase, mixing a compound to be tested with an N-peptide and then adding a C-peptide, adding the resultant mixture to the resultant polyclonal antibody-coated solid-phase and then removing unbound peptides and unbound compound, adding a monoclonal antibody directed against the trimer of a heterodimer containing an N-peptide and a C-peptide and measuring the antibody binding of the monoclonal antibody. A method for inhibiting HIV-1 virus replication or infectivity in a patient involves administering to the patient an antiviral compound targeted to the HIV-1 gp41 core structure selected from the group consisting of 7-[6-phenylamino-4[4-[(3,5-disulfo-8-hydroxynaphthyl)azo]-2-methoxy-5-methyl-phenylamino]-1,3,5-triazine-2-yl]-4-hydroxy-3-[(2-methoxy-5-sulfophenyl)azo]-2-naphthalene sulfonic acid and 5-[(4-chloro-6-phenylamino-1,3,5-triazine-2-yl)-aminol]-4-hydroxy-3-[(4-methyl-5-sulfophenyl)azo]-2,7-naphthalene disulfonic acid.

IT 294844-30-7
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(screening of antiviral compds. targeted to HIV-1 gp41 core structure)

L4 ANSWER 20 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 294844-30-7 HCAPLUS
CN Benzamide, N-[1-[6-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-(4-fluorophenyl)-4-nitro- (9CI) (CA INDEX NAME)



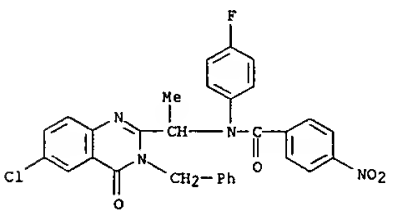
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:499893 HCAPLUS
DOCUMENT NUMBER: 131:266552
TITLE: Structure-Based Identification of Small Molecule Antiviral Compounds Targeted to the gp41 Core Structure of the Human Immunodeficiency Virus Type 1
AUTHOR(S): Debnath, Asim Kumar; Radigan, Lin; Jiang, Shibo
CORPORATE SOURCE: Lindsley F. Kimball Research Institute, The New York Blood Center, New York, NY, 10021, USA
SOURCE: Journal of Medicinal Chemistry (1999), 42(17), 3203-3209
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Recent X-ray crystallog. determination of the HIV-1 envelope glycoprotein gp41 core structure opened up a new avenue to discover antiviral agents for chemotherapy of HIV-1 infection and AIDS. A systematic study has been undertaken to search for anti-HIV-1 lead compds. targeted to gp41. Using mol. docking techniques to screen a database of 20,000 organic mols., 16 compds. were found with the best fit for docking into the hydrophobic cavity within the gp41 core and with maximum possible interactions with the target site. Further testing of these compds. by an ELISA and virus inhibition assays discerned two compds. (ADS-J1 and ADS-J2) having inhibitory activity at micromolar concns. on the formation of the gp41 core structure and on HIV-1 infection. These two compds. will be used as leads to design more effective HIV-1 inhibitors targeted to the HIV-1 gp41 core structure.

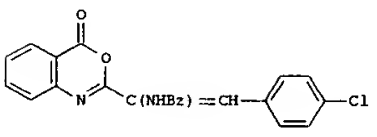
IT 294844-30-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(structure-based identification of small mol. antiviral compds. targeted to gp41 core structure of HIV-1)

RN 294844-30-7 HCAPLUS
CN Benzamide, N-[1-[6-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-(4-fluorophenyl)-4-nitro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:311432 HCAPLUS
DOCUMENT NUMBER: 122:160579
TITLE: Synthesis and reactions of 2-[1-benzamido-2-(o-chlorophenyl)vinyl]-4H-3,1-benzoxazin-4-one
AUTHOR(S): Saleh, R. M.; Bakeer, H. M.; Mustafa, O. E. A.
CORPORATE SOURCE: Fac. Eng., Suez Canal Univ., Port-Said, Egypt
SOURCE: Revue Roumaine de Chimie (1994), 39(5), 567-76
CODEN: RRCHAX; ISSN: 0035-3930
PUBLISHER: Editura Academiei Romane
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

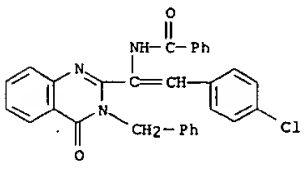


I

AB The title compound (I) was prepared, and its behavior toward primary amines, amino acids, secondary amines, hydrazines, hydroxylamine hydrochloride, sodium azide, and thiosemicarbazide under different reaction conditions was studied. I also reacted with phosphorus pentasulfide and then anilines to give the corresponding 3-arylquinazoline-4-thiones. Arylation of I under Friedel-Crafts conditions gave diaryl ketones, while its reaction with Grignard reagents afforded an (o-aminoaryl)carbinol and/or an o-amidophenyl benzyl ketone.

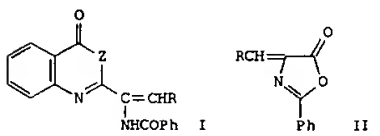
IT 141264-71-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 141264-71-3 HCAPLUS
CN Benzamide, N-[2-(4-chlorophenyl)-1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethenyl]- (9CI) (CA INDEX NAME)



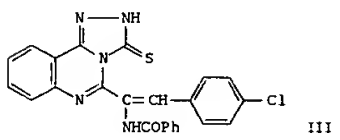
L4 ANSWER 23 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:435482 HCAPLUS
DOCUMENT NUMBER: 121:35482
TITLE: Synthesis and reactions of substituted benzoxazinones bearing a bulky group at position 2
AUTHOR(S): Soliman, F. M. A.; Souka, L. M.; Eslam, I. E.; Dawood, N. T. A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Revue Roumaine de Chimie (1992), 37(10), 1153-8
CODEN: RRCHAX; ISSN: 0035-3930
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

II



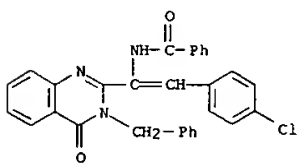
III

AB 2-Substituted 3,1-benzoxazin-4-ones I (Z = O, R = Ph or substituted phenyl) were prepared by reaction of oxazolones II with anthranilic acid. Reactions of I with amines and sodium azides were carried out. Thus, treatment of I (Z = O, R = p-ClC6H4) with H2NOH.HCl or semicarbazide gave quinazolone I (Z = N, R = p-ClC6H4) and triazole III, resp.

IT 141264-71-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 141264-71-3 HCAPLUS

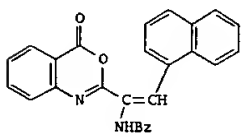
CN Benzamide, N-[2-(4-chlorophenyl)-1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethenyl]- (9CI) (CA INDEX NAME)



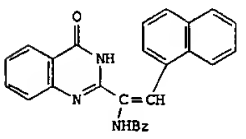
L4 ANSWER 23 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 24 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1993:59665 HCAPLUS
DOCUMENT NUMBER: 118:59665
TITLE: Study on the stability and behavior of 2-[benzamido(naphthylidene)methyl]-4(3H)-quinazolinone
AUTHOR(S): El-Farargy, A. F.
CORPORATE SOURCE: Fac. Sci., Zagazig Univ., Zagazig, Egypt
SOURCE: Egyptian Journal of Pharmaceutical Sciences (1991), 32(3-4), 565-74
CODEN: EJPSBZ; ISSN: 0301-5068
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 118:59665
GI



I



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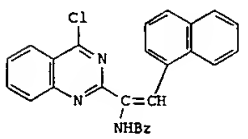


III

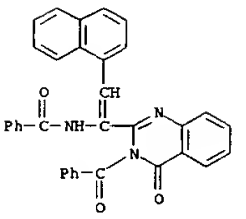
AB The aminolysis of 4H-3,1-benzoxazin-4-one I gave 4(3H)-quinazolinone II. The chlorination, benzoylation and Mannich reaction of II have been studied. Also, the behavior of 4-chloroquinazoline III toward acylhydrazides, sodium azide, alkylating agents, active methylene compds. and amino acids are described.

IT 145326-70-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

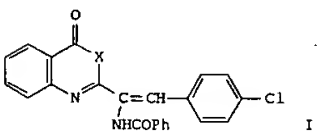
RN 145326-70-1 HCAPLUS

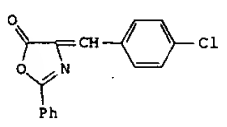
CN Benzamide, N-[1-(3-benzoyl-3,4-dihydro-4-oxo-2-quinazolinyl)-2-(1-naphthalenyl)ethenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 24 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

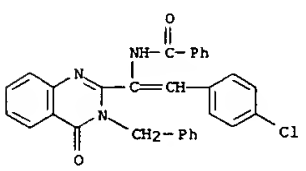


L4 ANSWER 25 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:448448 HCAPLUS
DOCUMENT NUMBER: 117:48448
TITLE: Synthesis and some reactions of 2-(α-benzamido-p-chlorostyryl)-3,1-benzoxazin-4-one
AUTHOR(S): Saleh, R. M.; Bakeer, H. M.; Mustafa, O. E. A.
CORPORATE SOURCE: Fac. Eng., Suez Canal Univ., Port-Said, Egypt
SOURCE: Pakistan Journal of Scientific and Industrial Research (1991), 34(11), 417-21
CODEN: PSIRAA; ISSN: 0030-9885
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:48448
GI


I

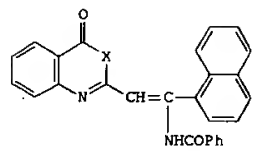

II

AB The title compound I (X = O) was prepared in 85% yield by recyclizing oxazolone II with o-H2NC6H4CO2H, and its reactions were studied. Thus, refluxing I (X = O) with MeNH2 in AcOH gave 70% I (X = NMe).
IT 141264-71-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 141264-71-3 HCAPLUS
CN Benzamide, N-[2-(4-chlorophenyl)-1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 26 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 26 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:426491 HCAPLUS
DOCUMENT NUMBER: 117:26491
TITLE: Study on the stability and behavior of 2-benzamido(α-naphthylidene)methyl-4-(3H)-quinazolinone
AUTHOR(S): El-Farargy, A. F.
CORPORATE SOURCE: Fac. Sci., Zagazig Univ., Zagazig, Egypt
SOURCE: Anales de Quimica (1991), 87(7), 903-6
CODEN: ANQUEX; ISSN: 1130-2283
DOCUMENT TYPE: Journal
LANGUAGE: English
GI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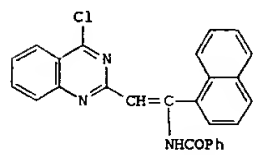
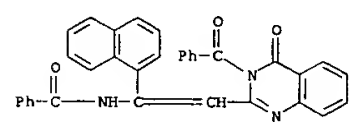
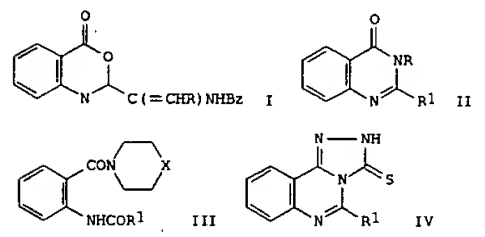

III

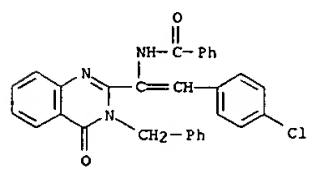
AB The ammonolysis of benzamido(naphthylidene)benzoxazinone I (X = O) gave I (X = NH) (II). The chlorination, benzoylation and Mannich reaction of II were studied. Also the behavior of 4-chloroquinazoline III towards acylhydrazides, sodium azide, alkylating agents, active methylene compds. and glycine is described.
IT 142009-63-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 142009-63-0 HCAPLUS
CN Benzamide, N-[2-(3-benzoyl-3,4-dihydro-4-oxo-2-quinazolinyl)-1-(1-naphthalenyl)ethenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 27 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:255567 HCAPLUS
DOCUMENT NUMBER: 116:255567
TITLE: Synthesis and reactions of substituted benzoxazinones bearing a bulky group at position 2
AUTHOR(S): Soliman, F. M. A.; Islam, I. E.; Souka, I. M.; Dawood, N. T. A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Delta Journal of Science (1990), 14(1), 166-80
CODEN: DJSCES; ISSN: 1012-5965
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

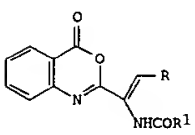

I II III IV

AB 2-Substituted 3,1-benzoxazin-4-ones I (R = Ph, substituted Ph) were obtained from 4-arylidene-2-phenyl-5(4H)-oxazolones and o-H2NC6H4CO2H. Aminolysis of I (R = Ph) with primary amines gave o-BzNHC(:CHC6H4Cl-p)CONHC6H4CONHR (R = Ph, CH2CO2H) and quinazolones II (R = Me, PhCH2, Ph, m-MeOC6H4, 2-thiazolyl, p-HOC6H4, R1 = p-ClC6H4CH:CNHBz); aminolysis with secondary amines gave amides III (X = CH2; O). Addnl. obtained were quinazolone derivs. of hydrazides, hydrazines, and hydroxylamine and triazoloquinazolinethione IV.
IT 141264-71-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 141264-71-3 HCAPLUS
CN Benzamide, N-[2-(4-chlorophenyl)-1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethenyl]- (9CI) (CA INDEX NAME)

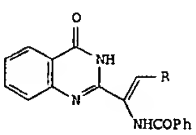


L4 ANSWER 28 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:408707 HCAPLUS
DOCUMENT NUMBER: 115:8707
TITLE: Synthesis and reactions of substituted benzoxazinones bearing a bulky group at position-2. Part I
AUTHOR(S): Afify, A. A.; El-Nagdy, S.; Sayed, M. A.; Mohey, I.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Revue Roumaine de Chimie (1990), 35(4), 567-75
CODEN: RRCHAX; ISSN: 0035-3930
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:8707
GI



I



II

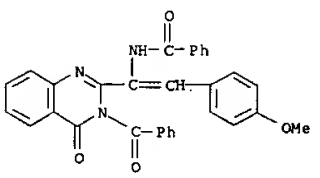
AB 2-(Substituted)-4H-3,1-benzoxazin-4-ones I (R = 4-MeOC6H4, R1 = Ph, 2-ClC6H4; R = 3-O2NC6H4, R1 = Ph) were synthesized by reaction of anthranilic acid with 2-phenyl-4-arylidene-5(4H)-oxazolones. Aminolysis of I gave N-substituted benzamides. Hydrazinolysis of I gave N-(substituted) anthranilic acid hydrazides, while ammonolysis gave 2-(substituted) quinazolin-4(3H)-ones.. Treatment of 4-quinazolone derivative II (R = 4-MeOC6H4) with benzoyl chloride afforded 3-benzoyl-2-substituted quinazolin-4(3H)-one. II (R = 4-MeOC6H4) also reacts with a mixture of PCl5/POCl3 to give 2-substituted 4-chloroquinazolines. Mannich reaction of II (R = 3-O2NC6H4) with different bases gave the Mannich bases 2-substituted-3-substituted quinazolin-4(3H)-ones. The reaction of 2-substituted 4-chloroquinazoline with acylhydrazides, sodium azide, alkylating agents and amino acids yielded the corresponding quinazoline derivs., tetrazole derivative, 2,4-disubstituted quinazolines, and 2,4-substituted aminoquinazolines, resp. Ring closure of 2,4-substituted aminoquinazoline by acetic anhydride and sodium acetate gave the corresponding 5(4H)-pyrazolone derivative

IT 120571-97-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 120571-97-3 HCAPLUS

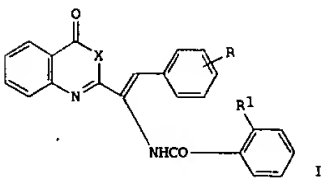
CN Benzamide, N-[1-(3-benzoyl-3,4-dihydro-4-oxo-2-quinazolinyl)-2-(4-methoxyphenyl)ethenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 29 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1989:212760 HCAPLUS
DOCUMENT NUMBER: 110:212760
TITLE: Synthesis and reactions of substituted benzoxazinones bearing a bulky group at position-2
AUTHOR(S): Afify, A. A.; El-Nagdy, S.; Sayed, M. A.; Mohey, I.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1988), 27B(10), 920-25
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:212760
GI



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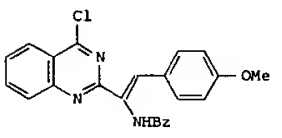


II

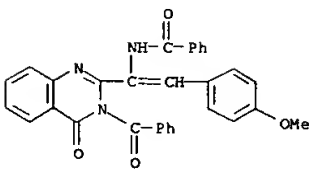
AB 3,1-Benzoxazin-4(H)-ones I (X = O; R = 4-OMe, 3-NO2; R1 = H, Cl) have been synthesized by the reaction of 2-H2NC6H4CO2H with 4-arylidene-2-phenyl-5(4H)-oxazolones. Aminolysis of II gives (β-benzamido-p-methoxystyryl)-N-substituted-benzamides. Hydrazinolysis of I affords N-substituted anthranilic acid hydrazines. Ammonolysis of I furnishes 2-substituted 4(3H)-quinazolinones I (X = NH). Treatment of I (X = NH, R = 4-OMe, R1 = H) with BCl3 affords its 3-benzoyl derivative and with PCl5-POCl3 it gives the 4-chloroquinazoline II. Mannich reaction on I (X = NH, R = 3-NO2, R1 = H) with different bases gives I (X = NCH2R2; R2 = NHBz, phthalimido, succinimido). II on reaction with acylhydrazides, NaN3, alkylating agents and amino acids affords s-triazolo[4,3-c]quinazolines, tetrazolo[1,5-c]quinazolines, 2,4-disubstituted quinazolines and 2-substituted 4-(carboxyalkylamino)quinazolines, resp.

IT 120571-97-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

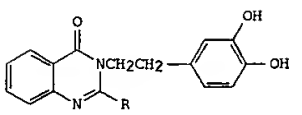
RN 120571-97-3 HCAPLUS

CN Benzamide, N-[1-(3-benzoyl-3,4-dihydro-4-oxo-2-quinazolinyl)-2-(4-methoxyphenyl)ethenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

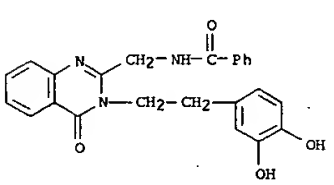


L4 ANSWER 30 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1986:435444 HCAPLUS
DOCUMENT NUMBER: 105:35444
TITLE: Antiparkinsonism and CNS activities of (±)-2-aryl/alkyl-3-{β-(3',4'-dihydroxyphenyl)ethyl}quinazolin-4(3H)-ones
AUTHOR(S): Pandey, V. K.
CORPORATE SOURCE: Dep. Chem., Lucknow Univ., Lucknow, 226007, India
SOURCE: Biological Memoirs (1985), 11(2), 213-15
CODEN: BMEMDK; ISSN: 0379-8097
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

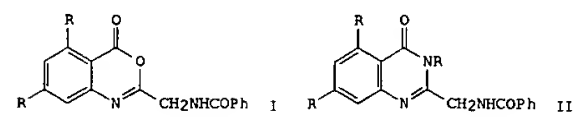


I

AB The title quinazolones I (R = Ph, Me, PhCH2, etc) were tested for their antitremor and central nervous system depressant activities in mice. The approx. LD50 values for these compds. ranged from 500->1000 mg/kg after i.p. administration. All compds. exhibited pronounced central nervous system depressant activity, but the antitremor activity was less significant.
IT 68501-50-8
RL: BIOL (Biological study)
(central depressant and antiparkinsonism activities of)
RN 68501-50-8 HCAPLUS
CN Benzamide, N-[[3-[2-(3,4-dihydroxyphenyl)ethyl]-3,4-dihydro-4-oxo-2-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

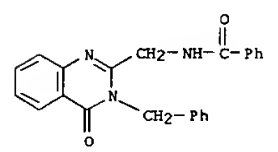


L4 ANSWER 31 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1982:142790 HCAPLUS
DOCUMENT NUMBER: 96:142790
TITLE: Possible antifertility compounds-Part III: Synthesis of 2-hippuryl-3-arylquinazolinones
AUTHOR(S): Tiwari, S. S.; Upreti, Amrapali; Satsangi, R. K.
CORPORATE SOURCE: Dep. Chem., Univ. Lucknow, Lucknow, India
SOURCE: Journal of the Chemical Society of Pakistan (1981), 3(4), 215-17
CODEN: JCSPDF; ISSN: 0253-5106
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I II

AB PhCONHCH2COCl was treated with 2,4,6-H2NR2C6H2CO2H (R = H, Br) to give the benzoxazines I, which were treated with amines to give the title compds. II [R = (un)substituted Ph, PhCH2, cyclohexyl]. No significant antifertility activity was observed in male rats.
IT 81190-48-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antifertility activity of, inactive)
RN 81190-48-9 HCAPLUS
CN Benzamide, N-[[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]methyl]-(9CI) (CA INDEX NAME)



L4 ANSWER 32 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1980:216 HCAPLUS
DOCUMENT NUMBER: 92:216
TITLE: Monoamine oxidase inhibitory activity of 4(3H)-quinazolinones of dopamine
AUTHOR(S): Ahmad, Shakeel; Satsangi, R. K.
CORPORATE SOURCE: Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, India
SOURCE: Indian Journal of Pharmaceutical Sciences (1979), 41(3), 126-7
CODEN: IJSIDW; ISSN: 0250-474X
DOCUMENT TYPE: Journal
LANGUAGE: English
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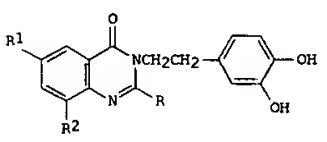
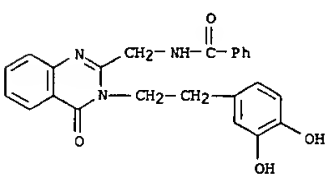
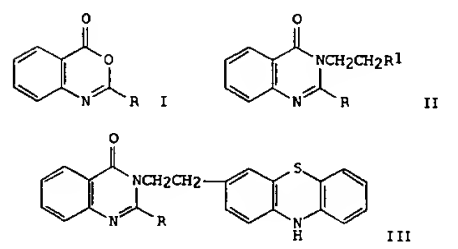


I

AB The title compds. I (R = Ph, PhCH:CH, or benzamidomethyl; R1 = H, Br or Cl; R2 = H, Br, Cl, or I) were evaluated for monoamine oxidase [9001-66-5] inhibiting activity in vitro. The dibromo derivative was more inhibiting than the mono derivative Structure-activity relations are discussed.
IT 68501-50-8
RL: BIOL (Biological study)
(as monoamine oxidase inhibitor)
RN 68501-50-8 HCAPLUS
CN Benzamide, N-[[3-[2-(3,4-dihydroxyphenyl)ethyl]-3,4-dihydro-4-oxo-2-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

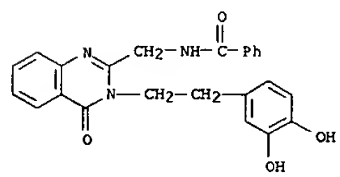


L4 ANSWER 33 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1979:439421 HCAPLUS
DOCUMENT NUMBER: 91:39421
TITLE: Possible antiparkinsonian compounds. Part XI. Synthesis of 2-aryl/alkyl-3-{β-(3':4'-dihydroxyphenyl)ethyl]-quinazoline(3H)-4-one and 2-aryl/alkyl-3-[(7'-(phenothiazinyl)-ethyl]-quinazoline(3H)-4-one
AUTHOR(S): Panday, V. K.
CORPORATE SOURCE: Dep. Chem., Lucknow Univ., Lucknow, India
SOURCE: Acta Ciencia Indica (1978), 4(3), 230-5
CODEN: ACIDBW; ISSN: 0379-5411
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

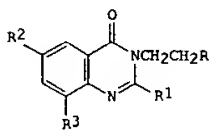


I II III

AB Treatment of arylbenzoxazinones I (R = Ph, MeC6H4, ClC6H4, Me, MeNHCOC6H4) with H2NCH2CH2OH gave 60-70% arylquinazolinones II (R as above R1 = OH) which were condensed with pyrocatechol to give 60-70% II [R as above, R1 = 3,4-(HO)2C6H3]. The latter were cyclized with o-H2NC6H4SH to give 30-40% III (R = Ph, MeC6H4, ClC6H4, Me).
IT 68501-50-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 68501-50-8 HCAPLUS
CN Benzamide, N-[[3-[2-(3,4-dihydroxyphenyl)ethyl]-3,4-dihydro-4-oxo-2-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 34 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1979:6338 HCAPLUS
DOCUMENT NUMBER: 90:6338
TITLE: Synthesis and central nervous systems activity of 2-aryl-3(3',4'-dihydroxyphenylethyl)-6,8-substituted 4(3H)-quinazolinones
AUTHOR(S): Tiwari, S. S.; Satsangi, R. K.; Misra, Shobha
CORPORATE SOURCE: Chem. Dep., Lucknow Univ., Lucknow, India
SOURCE: Indian Journal of Pharmaceutical Sciences (1978), 40(2), 40-3
CODEN: IJSIDW; ISSN: 0250-474X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Fifteen quinazolones I (R = H; R1 = Ph, PhCH:CH, PhCONHCH2; R2 = H, Br, Cl; R3 = H, Br, Cl, iodo) were prepared by treating the corresponding benzoxazinone with H2NCH2CH2OH. I (R = H) were treated with o-(HO)2C6H4 to give I (R = 3,4-(HO)2C6H3). I (R = 3,4-(HO)2C6H3) were non toxic and had central nervous system depressant without any antitremorine, antireserpine and anorexigenic activities.

IT 68501-56-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and central nervous system depressant activity of)
RN 68501-56-4 HCAPLUS
CN Benzamide, N-[[8-bromo-3-[2-(3,4-dihydroxyphenyl)ethyl]-3,4-dihydro-4-oxo-2-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

09/ 724,778

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L1                STRUCTURE UPLOADED
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L3            439 S L1 FUL

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L4             34 S L3

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FULL ESTIMATED COST                                   178.80     346.16

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